

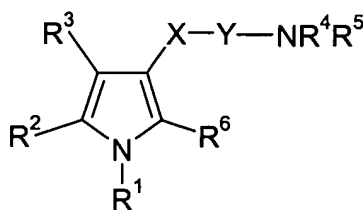
Amendments to the Claims:

10/540276  
JC17 Rec'd PCT/PTO 21 JUN 2005

This listing of claims will replace all prior versions, and listings, of claims in the application:

Listing of Claims:

1. (currently amended) A compound of formula (I)



I

~~and pharmaceutically acceptable salts, prodrugs and solvates thereof, in which~~

wherein

R<sup>1</sup> and R<sup>2</sup> are independently selected from ~~represent~~ phenyl, thienyl ~~or~~ and pyridyl, each of which is independently optionally substituted by with one, two or three Z groups ~~represented by Z;~~

Z represents is selected from a C<sub>1-3</sub>alkyl group, a C<sub>1-3</sub>alkoxy group, hydroxy, halo, trifluoromethyl, trifluoromethylthio, difluoromethoxy, trifluoromethoxy, trifluoromethylsulphonyl, amino, mono or di C<sub>1-3</sub>alkylamino, mono or di C<sub>1-3</sub>alkylamido, C<sub>1-3</sub>alkylsulphonyl, C<sub>1-3</sub>alkoxycarbonyl, carboxy, cyano, carbamoyl, mono or di C<sub>1-3</sub>alkyl carbamoyl, sulphamoyl and acetyl; ~~and~~

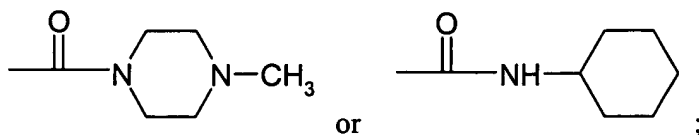
R<sup>3</sup> is selected from H, a C<sub>1-3</sub>alkyl group, a C<sub>1-3</sub>alkoxymethyl group, trifluoromethyl, an aminoC<sub>1-3</sub>alkyl group, a hydroxyC<sub>1-3</sub>alkyl group, C<sub>1-3</sub>alkoxycarbonyl, carboxy, cyano, carbamoyl, mono or di C<sub>1-3</sub>alkylcarbamoyl, acetyl, ~~or and hydrazinecarbonyl of~~ formula -CONHN<sup>a</sup>R<sup>b</sup>, wherein R<sup>a</sup> and R<sup>b</sup> are ~~as defined for R<sup>4</sup> and R<sup>5</sup>, respectively;~~ and;

X is CO or SO<sub>2</sub>;

Y is absent or ~~represents~~ NH<sub>2</sub> optionally substituted by with a C<sub>1-3</sub>alkyl group;

R<sup>4</sup> and R<sup>5</sup> are independently represent selected from:

- a C<sub>1-6</sub>alkyl group;
- an (amino)C<sub>1-4</sub>alkyl- group in which the amino is optionally substituted ~~by~~ with one or more C<sub>1-3</sub>alkyl groups;
- an optionally substituted non-aromatic C<sub>3-15</sub>carbocyclic group;
- a (C<sub>3-12</sub>cycloalkyl)C<sub>1-3</sub>alkyl- group;
- a group ~~-(CH<sub>2</sub>)<sub>r</sub>(phenyl)<sub>s</sub> in which~~ group, wherein r is 0, 1, 2, 3 or 4, and wherein s is 1 when r is 0, otherwise s is 1 or 2, and wherein the phenyl groups are optionally independently substituted ~~by~~ with one, two or three Z groups ~~represented by Z;~~
- naphthyl;
- anthracenyl;
- a saturated 5- to 8-membered heterocyclic group containing one nitrogen and optionally one of the following: oxygen, sulphur or an additional nitrogen, wherein the heterocyclic group is optionally substituted ~~by~~ with one or more C<sub>1-3</sub>alkyl groups, hydroxy or benzyl;
- 1-adamantylmethyl; and
- a group ~~-(CH<sub>2</sub>)<sub>t</sub>Het in which~~ group, wherein t is 0, 1, 2, 3 or 4, and the alkylene chain is optionally substituted ~~by~~ with one or more C<sub>1-3</sub>alkyl groups and wherein Het ~~represents~~ is an aromatic heterocycle optionally substituted ~~by~~ with one, two or three groups selected from a C<sub>1-5</sub>alkyl group, a C<sub>1-5</sub>alkoxy group ~~or~~ and halo;
- or R<sup>4</sup> ~~represents~~ is H and R<sup>5</sup> is as defined above;
- or R<sup>4</sup> and R<sup>5</sup> taken together with the nitrogen atom to which they are attached ~~represent~~ form a saturated 5- to 8-membered heterocyclic group containing one nitrogen and optionally one of the following: oxygen, sulphur or an additional nitrogen; wherein the heterocyclic group is optionally substituted ~~by~~ with one or more C<sub>1-3</sub>alkyl groups, hydroxy or benzyl;
- R<sup>6</sup> is selected from H, a C<sub>1-3</sub>alkyl group, a C<sub>1-3</sub>alkoxymethyl group, trifluoromethyl, a hydroxyC<sub>1-3</sub>alkyl group, C<sub>1-3</sub>alkoxycarbonyl, carboxy, cyano, carbamoyl, mono or di C<sub>1-3</sub>alkylcarbamoyl, acetyl, ~~or~~ and hydrazinocarbonyl of formula -CONHNR<sup>a</sup>R<sup>b</sup>, wherein R<sup>a</sup> and R<sup>b</sup> are ~~as defined for~~ R<sup>4</sup> and R<sup>5</sup>, respectively; and;
- with the proviso that when R<sup>6</sup> is methyl, then the group X-Y-NR<sup>4</sup>R<sup>5</sup> ~~does~~ is not represent CONHC<sub>6</sub>H<sub>13</sub>, CONHC<sub>12</sub>H<sub>25</sub>, CONH<sub>2</sub>, CONHCH<sub>3</sub>, CON(CH<sub>3</sub>)<sub>2</sub>,



and with the further proviso that when  $R^1$  and  $R^2$  are independently ~~represent~~ phenyl, then Z  
is not an ortho methyl group;  
or a pharmaceutically acceptable salt, prodrug or solvate thereof.

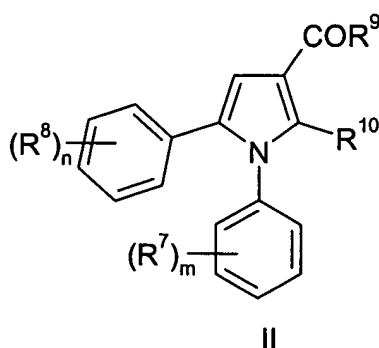
2. (currently amended) A compound according to claim 1, ~~in which~~ wherein  $R^1$  ~~represents is~~  
phenyl optionally substituted in the 2 or 4 position ~~by~~ with halo or  $C_{1-3}$ alkoxy ~~located in the 2~~  
~~and 4 positions of the phenyl ring.~~

3. (currently amended) A compound according to ~~any previous claim in which~~ claim 1,  
wherein  $R^2$  ~~is represents~~ phenyl, optionally substituted in the 2 or 4 position ~~by~~ with halo or  
 $C_{1-3}$ alkoxy ~~located in the 2 and 4 positions of the phenyl ring.~~

4. (currently amended) A compound according to ~~any previous claim in which~~ claim 1,  
wherein  $X-Y-NR^4R^5$  ~~represents is~~ CONHPh or CONH(1-piperidyl).

5. (currently amended) A compound according to ~~any previous claim in which~~ claim 1,  
wherein  $R^6$  ~~represents is~~ methyl.

6. (currently amended) A compound according to claim 1 of the general formula (II) ~~in~~  
~~which~~



~~and pharmaceutically acceptable salts, prodrugs, and solvates in which~~  
wherein  
m is ~~represents~~ 0, 1, 2 or 3;

each R<sup>7</sup> ~~represents~~ is independently selected from a C<sub>1-6</sub>alkyl group, trifluoromethyl, a C<sub>1-6</sub>alkoxy group, difluoromethoxy, trifluoromethoxy, ~~or~~ and halo; ~~wherein when m is 2 or 3 then the groups R<sup>7</sup> may be the same or different;~~

n ~~represents~~ is 0, 1, 2 or 3;

each R<sup>8</sup> ~~represents~~ is indepently selected from a C<sub>1-6</sub>alkyl group, trifluoromethyl, a C<sub>1-6</sub>alkoxy group, difluoromethoxy, trifluoromethoxy, ~~or~~ and halo; ~~wherein when n is 2 or 3 then the groups R<sup>8</sup> may be the same or different;~~

R<sup>9</sup> ~~represents~~ is selected from 1-piperidinyl, 1-piperidinylamino ~~or~~ and anilino, wherein the phenyl ring is optionally substituted ~~by~~ with one or more of the following: a C<sub>1-6</sub>alkyl group, trifluoromethyl, a C<sub>1-6</sub>alkoxy group, difluoromethoxy, trifluoromethoxy, or halo; and

R<sup>10</sup> ~~represents~~ is selected from a C<sub>1-6</sub>alkyl, C<sub>1-6</sub>alkoxy, ~~or~~ and a C<sub>1-6</sub>alkylamino group; or a pharmaceutically acceptable salt, prodrug or solvate thereof;

with the proviso that the compound is not 1-{{1-(4-chlorophenyl)-5-phenyl-2-methyl-1H-pyrrol-3-yl}carbonyl}piperidine or 1-{{1-(2,4-dichlorophenyl)-5-phenyl-2-methyl-1H-pyrrol-3-yl}carbonyl}piperidine.

7. (currently amended) A compound according to claim 6, ~~in which~~ wherein m is 2 and ~~the groups each R<sup>7</sup>, if present, is are~~ located in the 2 and or 4 positions position of the phenyl ring.

8. (currently amended) A compound according to claim 6, ~~or claim 7 in which~~ wherein n is 2 and ~~the groups each R<sup>8</sup>, if present, is are~~ located in the 2 and or 4 positions position of the phenyl ring. ~~In a third group of compounds of formula II, R<sup>9</sup> represents anilino.~~

9. (currently amended) A compound according to ~~any one of claims~~ claim 6, ~~7 or 8 in which~~ wherein R<sup>9</sup> ~~represents is~~ 1-piperidinyl.

10. (currently amended) A compound according to ~~any one of claims~~ claim 6, ~~7, 8 or 9 in which~~ wherein R<sup>9</sup> ~~represents is~~ 1-piperidinylamino.

11. (currently amended) A compound according to ~~any one of claims~~ claim 6, ~~7, 8, 9 or 10 in which~~ wherein R<sup>10</sup> ~~represents is~~ methyl.

12. (currently amended) A compound selected from ~~one or more of the following~~:

- 2-methyl-*N*,1,5-triphenyl-1*H*-pyrrole-3-carboxamide;  
 1-(4-chlorophenyl)-2-methyl-*N*,5-diphenyl-1*H*-pyrrole-3-carboxamide;  
 1-(4-methoxyphenyl)-2-methyl-*N*,5-diphenyl-1*H*-pyrrole-3-carboxamide;  
 5-(2,4-dichlorophenyl)-2-methyl-*N*,1-diphenyl-1*H*-pyrrole-3-carboxamide;  
 1-(4-chlorophenyl)-5-(2,4-dichlorophenyl)-2-methyl-*N*-phenyl-1*H*-pyrrole-3-carboxamide;  
 5-(2,4-dichlorophenyl)-1-(4-methoxyphenyl)-2-methyl-*N*-phenyl-1*H*-pyrrole-3-carboxamide;  
 5-(2,4-dimethoxyphenyl)-2-methyl-*N*,1-diphenyl-1*H*-pyrrole-3-carboxamide;  
 1-(4-chlorophenyl)-5-(2,4-dimethoxyphenyl)-2-methyl-*N*-phenyl-1*H*-pyrrole-3-carboxamide;  
 5-(2,4-dimethoxyphenyl)-1-(4-methoxyphenyl)-2-methyl-*N*-phenyl-1*H*-pyrrole-3-carboxamide;  
 2-methyl-1,5-diphenyl-*N*-piperidin-1-yl-1*H*-pyrrole-3-carboxamide;  
 1-(4-chlorophenyl)-2-methyl-5-phenyl-*N*-piperidin-1-yl-1*H*-pyrrole-3-carboxamide;  
 1-(4-methoxyphenyl)-2-methyl-5-phenyl-*N*-piperidin-1-yl-1*H*-pyrrole-3-carboxamide;  
 5-(2,4-dichlorophenyl)-2-methyl-1-phenyl-*N*-piperidin-1-yl-1*H*-pyrrole-3-carboxamide;  
 1-(4-chlorophenyl)-5-(2,4-dichlorophenyl)-2-methyl-*N*-piperidin-1-yl-1*H*-pyrrole-3-carboxamide;  
 5-(2,4-dichlorophenyl)-1-(4-methoxyphenyl)-2-methyl-*N*-piperidin-1-yl-1*H*-pyrrole-3-carboxamide;  
 1-{{5-(2,4-dimethoxyphenyl)-2-methyl-1-phenyl-1*H*-pyrrol-3-yl}carbonyl}piperidine;  
 1-(4-chlorophenyl)-5-(2,4-dimethoxyphenyl)-2-methyl-*N*-piperidin-1-yl-1*H*-pyrrole-3-carboxamide; ~~and~~  
 5-(2,4-dimethoxyphenyl)-1-(4-methoxyphenyl)-2-methyl-*N*-piperidin-1-yl-1*H*-pyrrole-3-carboxamide;  
 1-[(2-methyl-1,5-diphenyl-1*H*-pyrrol-3-yl)carbonyl]piperidine;  
 1-{{1-(4-methoxyphenyl)-2-methyl-5-phenyl-1*H*-pyrrol-3-yl}carbonyl}piperidine;  
 1-{{5-(2,4-dichlorophenyl)-2-methyl-1-phenyl-1*H*-pyrrol-3-yl}carbonyl}piperidine;  
 1-{{1-(4-chlorophenyl)-5-(2,4-dichlorophenyl)-2-methyl-1*H*-pyrrol-3-yl}carbonyl}piperidine;  
 1-{{5-(2,4-dichlorophenyl)-1-(4-methoxyphenyl)-2-methyl-1*H*-pyrrol-3-yl}carbonyl}piperidine;  
 1-{{1-(4-chlorophenyl)-5-(2,4-dimethoxyphenyl)-2-methyl-1*H*-pyrrol-3-yl}carbonyl}piperidine; and  
 1-{{5-(2,4-dimethoxyphenyl)-1-(4-methoxyphenyl)-2-methyl-1*H*-pyrrol-3-yl}carbonyl}piperidine;

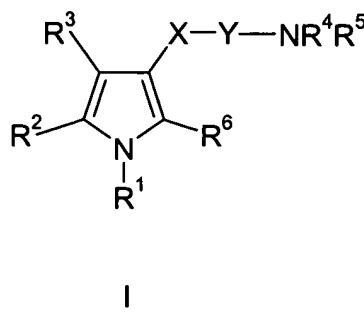
and where applicable, optical isomers, tautomers, stereoisomers and racemates thereof as well as pharmaceutically acceptable salts and solvates thereof.

13. (cancelled)

14. (currently amended) A pharmaceutical ~~formulation~~ composition comprising a compound of ~~formula I, as defined in~~ any one of claims 1 to 12 and a pharmaceutically acceptable adjuvant, diluent or carrier.

15. (cancelled)

16. (currently amended) A method of treating a condition selected from obesity, psychiatric disorders, ~~such as~~ psychotic disorders, schizophrenia and bipolar disorders, anxiety, anxiety-depressive disorders, depression, cognitive disorders, memory disorders, obsessive-compulsive disorders, anorexia, bulimia, attention disorders, epilepsy, ~~and related conditions, and neurological disorders, such as~~ dementia, neurological disorders, Parkinson's Disease, Huntington's Chorea and Alzheimer's Disease, immune, cardiovascular, reproductive and endocrine disorders, septic shock, diseases related to the respiratory and gastrointestinal systems, and extended abuse, addiction and/or relapse indications, in a mammal, comprising administering a pharmacologically effective amount of a compound ~~as claimed in of any one of claims 1 to 12 including the compounds of the proviso in claim 1~~ of formula (I)



wherein

R<sup>1</sup> and R<sup>2</sup> are independently selected from phenyl, thienyl and pyridyl, each of which is independently optionally substituted with one, two or three Z groups;

Z is selected from a C<sub>1-3</sub>alkyl group, a C<sub>1-3</sub>alkoxy group, hydroxy, halo, trifluoromethyl, trifluoromethylthio, difluoromethoxy, trifluoromethoxy, trifluoromethylsulphonyl, amino, mono or di C<sub>1-3</sub>alkylamino, mono or di C<sub>1-3</sub>alkylamido, C<sub>1-3</sub>alkylsulphonyl, C<sub>1-3</sub>alkoxycarbonyl, carboxy, cyano, carbamoyl, mono or di C<sub>1-3</sub>alkyl carbamoyl, sulphamoyl and acetyl;

R<sup>3</sup> is selected from H, a C<sub>1-3</sub>alkyl group, a C<sub>1-3</sub>alkoxymethyl group, trifluoromethyl, an aminoC<sub>1-3</sub>alkyl group, a hydroxyC<sub>1-3</sub>alkyl group, C<sub>1-3</sub>alkoxycarbonyl, carboxy, cyano, carbamoyl, mono or di C<sub>1-3</sub>alkylcarbamoyl, acetyl, and –CONHNR<sup>a</sup>R<sup>b</sup>, wherein R<sup>a</sup> and R<sup>b</sup> are R<sup>4</sup> and R<sup>5</sup>, respectively; and

X is CO or SO<sub>2</sub>;

Y is absent or NH, optionally substituted with a C<sub>1-3</sub>alkyl group;

R<sup>4</sup> and R<sup>5</sup> are independently selected from:

a C<sub>1-6</sub>alkyl group;

an (amino)C<sub>1-4</sub>alkyl– group in which the amino is optionally substituted with one or more C<sub>1-3</sub>alkyl groups;

an optionally substituted non-aromatic C<sub>3-15</sub>carbocyclic group;

a (C<sub>3-12</sub>cycloalkyl)C<sub>1-3</sub>alkyl– group;

a –(CH<sub>2</sub>)<sub>r</sub>(phenyl)<sub>s</sub> group, wherein r is 0, 1, 2, 3 or 4, and wherein s is 1 when r is 0, otherwise s is 1 or 2, and wherein the phenyl groups are optionally independently substituted with one, two or three Z groups;

naphthyl;

anthracenyl;

a saturated 5- to 8-membered heterocyclic group containing one nitrogen and optionally one of the following: oxygen, sulphur or an additional nitrogen, wherein the heterocyclic group is optionally substituted with one or more C<sub>1-3</sub>alkyl groups, hydroxy or benzyl; 1-adamantylmethyl; and

a –(CH<sub>2</sub>)<sub>t</sub>Het group, wherein t is 0, 1, 2, 3 or 4, and the alkylene chain is optionally substituted with one or more C<sub>1-3</sub>alkyl groups and wherein Het is an aromatic heterocycle optionally substituted with one, two or three groups selected from a C<sub>1-3</sub>alkyl group, a C<sub>1-5</sub>alkoxy group and halo;

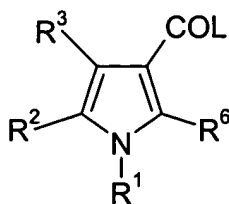
or R<sup>4</sup> is H and R<sup>5</sup> is as defined above;

or R<sup>4</sup> and R<sup>5</sup> taken together with the nitrogen atom to which they are attached form a saturated 5- to 8-membered heterocyclic group containing one nitrogen and optionally one of the following: oxygen, sulphur or an additional nitrogen; wherein the

heterocyclic group is optionally substituted with one or more C<sub>1-3</sub>alkyl groups, hydroxy or benzyl; and  
R<sup>6</sup> is selected from H, a C<sub>1-3</sub>alkyl group, a C<sub>1-3</sub>alkoxymethyl group, trifluoromethyl, a hydroxyC<sub>1-3</sub>alkyl group, C<sub>1-3</sub>alkoxycarbonyl, carboxy, cyano, carbamoyl, mono or di C<sub>1-3</sub>alkylcarbamoyl, acetyl, and -CONHNR<sup>a</sup>R<sup>b</sup>, wherein R<sup>a</sup> and R<sup>b</sup> are R<sup>4</sup> and R<sup>5</sup>, respectively;  
 to a patient in need thereof.

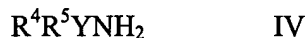
17. (canceled)

18. (currently amended) A process for the preparation of a compounds of claim 1 ~~formula I~~ in which X is CO<sub>2</sub>, comprising reacting a compound of formula III



III

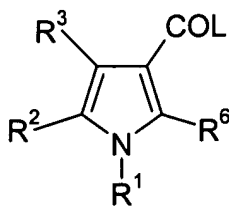
in which R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup>, and R<sup>6</sup> are as previously defined and wherein L is ~~represents~~ hydroxy or halo, with an amine of formula IV



in which R<sup>4</sup> and R<sup>5</sup> are as previously defined, in an inert solvent and optionally in the presence of a catalyst or optionally in the presence of a base at a temperature in the range of - 25°C to 150°C, and, when L is hydroxy, optionally in the presence of a coupling agent.



19. (currently amended) A compound of formula III



III

~~in which wherein~~ R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup>, and R<sup>6</sup> are as ~~previously defined in claim 1~~ and L ~~represents is~~ hydroxy or halo.

20. (currently amended) A compound selected from ~~one or more of the following~~:

Ethyl 2-methyl-1,5-diphenyl-1*H*-pyrrole-3-carboxylate,

Ethyl 1-(4-chlorophenyl)-2-methyl-5-phenyl-1*H*-pyrrole-3-carboxylate,

Ethyl 1-(4-methoxyphenyl)-2-methyl-5-phenyl-1*H*-pyrrole-3-carboxylate,

Ethyl 5-(2,4-dichlorophenyl)-2-methyl-1-phenyl-1*H*-pyrrole-3-carboxylate,

Ethyl 1-(4-chlorophenyl)-5-(2,4-dichlorophenyl)-2-methyl-1*H*-pyrrole-3-carboxylate,

Ethyl 5-(2,4-dichlorophenyl)-1-(4-methoxyphenyl)-2-methyl-1*H*-pyrrole-3-carboxylate,

Ethyl 5-(2,4-dimethoxyphenyl)-2-methyl-1-phenyl-1*H*-pyrrole-3-carboxylate,

Ethyl 1-(4-chlorophenyl)-5-(2,4-dimethoxyphenyl)-2-methyl-1*H*-pyrrole-3-carboxylate,

Ethyl 5-(2,4-dimethoxyphenyl)-1-(4-methoxyphenyl)-2-methyl-1*H*-pyrrole-3-carboxylate,

2-Methyl-1,5-diphenyl-1*H*-pyrrole-3-carboxylic acid,

1-(4-Chlorophenyl)-2-methyl-5-phenyl-1*H*-pyrrole-3-carboxylic acid,

5-(2,4-Dichlorophenyl)-2-methyl-1-phenyl-1*H*-pyrrole-3-carboxylic acid,

1-(4-Chlorophenyl)-5-(2,4-dichlorophenyl)-2-methyl-1*H*-pyrrole-3-carboxylic acid,

5-(2,4-Dichlorophenyl)-1-(4-methoxyphenyl)-2-methyl-1*H*-pyrrole-3-carboxylic acid,

5-(2,4-Dimethoxyphenyl)-2-methyl-1-phenyl-1*H*-pyrrole-3-carboxylic acid,

1-(4-Chlorophenyl)-5-(2,4-dimethoxyphenyl)-2-methyl-1*H*-pyrrole-3-carboxylic acid, and

5-(2,4-Dimethoxyphenyl)-1-(4-methoxyphenyl)-2-methyl-1*H*-pyrrole-3-carboxylic acid.

21. (currently amended) ~~A compound as defined in any one of claims 1 to 12 combined with another therapeutic agent that is useful in the treatment of disorders associated with the development and progress of obesity such as~~ The composition according to claim 14,

comprising an additional agent useful in the treatment of hypertension, hyperlipidaemias, dyslipidaemias, diabetes or ~~and~~ atherosclerosis.